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(54) ASSAY OF EFFICACY IN TERMS OF THERAPY  
 FOR METALLOPROTEINASE INHIBITOR, NEW  
 INHIBITOR, AND ITS USE IN THERAPY

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(57) Abstract:

PROBLEM TO BE SOLVED: To provide a method for quantitatively and highly reliably evaluating the activity of a substance inhibiting an enzyme existing in snake venom and mammal body, and to obtain the inhibitor evaluated by the method.

SOLUTION: (A) Using a zinc-dependent metalloproteinase existing in the venom of snakes belonging to Grotalidae or Viperidae, the inhibitory activity of a peptide analogue having ability to inhibit the above enzyme is subjected to primary screening. Subsequently, (B) the inhibitory activity of the above-mentioned peptide analogue against the zinc-dependent metalloproteinase existing in mammal body is assayed. Then, (C) the activity of the peptide analogue for a relevant morbid state is demonstrated by the standard pharmacological examination. The peptide analogue is e.g. a compound of formula I [A is a group of formula II; Y is OH, NH<sub>2</sub>, NHOH, etc.; R<sub>4</sub> is CH-(CH<sub>3</sub>)<sub>2</sub>, phenyl, etc.; X is 5-methoxy-1-indanon-3-acetyl, naphthoyl, etc.].

X-A-C<sub>6</sub>H<sub>4</sub>-YR<sub>4</sub>

NH-CH-CO

CH<sub>2</sub>-

II